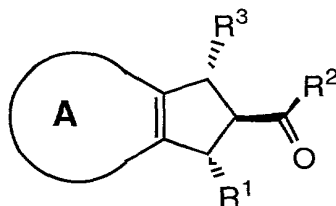


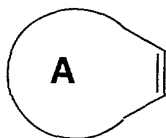
## WHAT IS CLAIMED IS:

1. A process for preparing a compound of Formula I,



I

- 5 wherein:



represents:

- 10 (a) 5- or 6-membered heterocyclyl containing one to three double bonds, but at least one double bond and 1 to 3 heteroatoms selected from O, N and S, and the heterocyclyl is optionally substituted with one to three substituents selected from the group consisting of: OH, CO<sub>2</sub>R<sup>4</sup>, Br, Cl, F, I, CF<sub>3</sub>, N(R<sup>5</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO(CH<sub>2</sub>)<sub>n</sub>CH<sub>3</sub>, and CO(CH<sub>2</sub>)<sub>n</sub>CH<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub>;
- 15 (b) 5- or 6-membered carbocyclyl containing one or two double bonds, but at least one double bond, and the carbocyclyl is optionally substituted with one to three substituents selected from the group consisting of: OH, CO<sub>2</sub>R<sup>4</sup>, Br, Cl, F, I, CF<sub>3</sub>, N(R<sup>5</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO(CH<sub>2</sub>)<sub>n</sub>CH<sub>3</sub>, and CO(CH<sub>2</sub>)<sub>n</sub>CH<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub>; or
- 20 (c) aryl, wherein aryl is defined as phenyl or naphthyl, which is optionally substituted with one to three substituents selected from the group consisting of: OH, CO<sub>2</sub>R<sup>4</sup>, Br, Cl, F, I, CF<sub>3</sub>, N(R<sup>5</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO(CH<sub>2</sub>)<sub>n</sub>CH<sub>3</sub>, and CO(CH<sub>2</sub>)<sub>n</sub>CH<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub>, or when aryl is substituted on adjacent carbons they can
- 25 form a 5- or 6-membered fused ring having one to three heteroatoms selected from O, N, and S, this ring being optionally substituted on carbon or nitrogen

with one to three substituents selected from the group consisting of: H, OH,  $\text{CO}_2\text{R}^6$ , Br, Cl, F, I,  $\text{CF}_3$ ,  $\text{N}(\text{R}^7)_2$ ,  $(\text{C}_1\text{-C}_8)\text{-alkoxy}$ ,  $(\text{C}_1\text{-C}_8)\text{-alkyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkenyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkynyl}$ ,  $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$ ,  $\text{CO}(\text{CH}_2)_n\text{CH}_3$ , and  $\text{CO}(\text{CH}_2)_n\text{CH}_2\text{N}(\text{R}^5)_2$ ;

5 and wherein  $(\text{C}_1\text{-C}_8)\text{-alkoxy}$ ,  $(\text{C}_1\text{-C}_8)\text{-alkyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkenyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkynyl}$ , or  $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$  substituent of aryl is further optionally substituted with one to three substituents

selected from the group consisting of: OH,  $\text{CO}_2\text{R}^4$ , Br, Cl, F, I,  $\text{CF}_3$ ,  $\text{OCPh}_3$ ,  $\text{N}(\text{R}^5)_2$ ,  $(\text{C}_1\text{-C}_8)\text{-alkoxy}$ ,  $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$ ,  $\text{CO}(\text{CH}_2)_n\text{CH}_3$ , and  
10  $\text{CO}(\text{CH}_2)_n\text{CH}_2\text{N}(\text{R}^5)_2$ ;

$\text{R}^1$  is:

- (a)  $(\text{C}_1\text{-C}_8)\text{-alkyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkenyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkynyl}$ , or  $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$ ,
- 15 (b) aryl, wherein aryl as defined above, or
- (c) heteroaryl, wherein heteroaryl is defined as a 5- or 6-membered aromatic ring containing one to three heteroatoms selected from O, N and S, and is optionally substituted with one to three substituents selected from the group consisting of: OH,  $\text{CO}_2\text{R}^4$ , Br, Cl, F, I,  $\text{CF}_3$ ,  
20  $\text{N}(\text{R}^5)_2$ ,  $(\text{C}_1\text{-C}_8)\text{-alkoxy}$ ,  $(\text{C}_1\text{-C}_8)\text{-alkyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkenyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkynyl}$ ,  $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$ ,  $\text{CO}(\text{CH}_2)_n\text{CH}_3$ , and  $\text{CO}(\text{CH}_2)_n\text{CH}_2\text{N}(\text{R}^5)_2$ ;

$\text{R}^2$  is:  $\text{OR}^4$  or  $\text{N}(\text{R}^5)_2$ ;

25  $\text{R}^3$  is:

- (a)  $(\text{C}_1\text{-C}_8)\text{-alkyl}$ ,
- (b)  $(\text{C}_2\text{-C}_8)\text{-alkenyl}$ ,
- (c)  $(\text{C}_2\text{-C}_8)\text{-alkynyl}$ ,
- (d)  $(\text{C}_3\text{-C}_7)\text{-cycloalkyl}$ ,
- 30 (e) aryl, wherein aryl as defined above,
- (f) heteroaryl, wherein heteroaryl as defined above,
- (g)  $-\text{CHO}$ ,
- (h)  $-\text{CO}(\text{C}_1\text{-C}_8)\text{-alkyl}$ ,
- (i)  $-\text{CO}\text{-aryl}$ ,

- (j) -CO-heteroaryl, or  
 (k) -CO<sub>2</sub>R<sup>4</sup>;

n is: 0 to 5;

5

t is: 0, 1 or 2;

R<sup>4</sup> is: H, or (C<sub>1</sub>-C<sub>8</sub>)-alkyl;

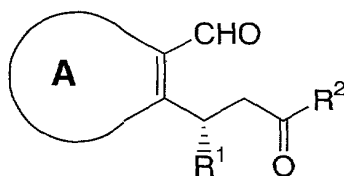
10 R<sup>5</sup> is: H, (C<sub>1</sub>-C<sub>8</sub>)-alkyl or aryl, wherein aryl as defined above;

R<sup>6</sup> is: H, (C<sub>1</sub>-C<sub>8</sub>)-alkyl or aryl, wherein aryl as defined above; and

15 R<sup>7</sup> is: H, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, aryl or alkyl, wherein aryl is optionally substituted with one to three substituents selected from the group consisting of: OH, CO<sub>2</sub>R<sup>4</sup>, Br, Cl, F, I, CF<sub>3</sub>, N(R<sup>5</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO(CH<sub>2</sub>)<sub>n</sub>CH<sub>3</sub>, and CO(CH<sub>2</sub>)<sub>n</sub>CH<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub>, or when two R<sup>7</sup> substituents are on the same nitrogen they can join to form a ring of 3 to 6 atoms;

20 comprising the steps of:

- (1) reacting a Grignard reagent with a conjugate adduct compound of Formula II,



25

II

in the presence of a first aprotic solvent and optionally an additive at a temperature range of about -80°C to about 30°C to give a Grignard addition product of Formula III; and